L Number	Hits	Search Text	DB	Time stamp
1	127	zinc adj4 metalloprotease	USPAT;	2002/07/30 12:04
		-	US-PGPUB;	
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7	708	matrix adj4 metalloprotease	USPAT;	2002/07/30 12:04
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13	67	human adj4 metalloprotease	USPAT;	2002/07/30 12:05
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19	10	(zinc adj4 metalloprotease) and (matrix	USPAT;	2002/07/30 12:05
1 2	10	adj4 metalloprotease) and (human adj4	US-PGPUB;	
		metalloprotease)	EPO; JPO;	
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	ט	1	Document ID	Issue Date	Title
1			US 6399371 B1	20020604	Human matrix metalloprotease gene, proteins encoded therefrom and methods of using same
2	⊠		US 6395889 B1	20020528	Nucleic acid molecules encoding human protease homologs
3			US 6294368 B1	20010925	Isolated human metalloprotease proteins, nucleic acid molecules encoding human protease proteins, and uses thereof
4	×		US 6197770 B1	20010306	Alkenyl- and alkynl-containing metalloprotease inhibitors
5			US 6344352 B1	20020205	Isolated human metalloprotease proteins, nucleic acid molecules encoding human protease proteins, and uses thereof
6			US 20020072517 A1	20020613	Substituted cyclic amine metalloprotease inhibitors
7			US 20020061877 A1	20020523	Substituted cyclic amine metalloprotease inhibitors

	Current OR	Current XRef	Retrieval Classif	Inventor	Pag es
1	435/325	435/219; 435/226; 435/252.33; 435/320.1; 536/23.1; 536/23.2; 536/23.5		Falduto, Michael T. et al.	72
2	536/23.2	435/252.3; 435/320.1; 435/69.1; 536/23.5		Robison, Keith E.	66
3	435/219	435/320.1; 435/69.1; 536/23.2; 536/23.5		Merkulov, Gennady V. et al.	57
4	514/238.2	534/847; 544/159; 544/383; 544/389; 544/391; 544/406; 546/276.4; 546/335; 548/141; 548/144; 548/163; 548/233; 548/233; 548/492; 548/540; 548/575; 549/444; 562/430		Natchus, Michael George et al.	57
5	435/219	435/212; 435/226		Merkulov, Gennady V. et al.	53
6	514/217.1	514/330; 514/423; 540/604; 546/225; 548/530		Natchus, Michael George et al.	40
7	514/217.11	514/330; 514/423; 540/604; 546/225; 548/530		Natchus, Michael George et al.	38

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1								us 6399371	
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4								us 6197770	
5	⊠							US 6344352	
6	⊠							US 20020072517	
7	⊠							US 20020061877	

	Ū	1	Do	cument]	ΙD	Issue Date	Title
8			US	6417219	B1		Hetero-substituted cyclic amine metalloprotease inhibitors
9	\boxtimes		us	6255064	В1	20010703	Disintegrin metalloprotease and its use

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8	514/423	514/227.5; 514/235.2; 514/254.01; 514/274; 514/326; 514/343; 514/367; 514/389; 514/397; 514/406; 544/141; 544/242; 544/242; 544/60; 546/276.4; 548/171; 548/247; 548/364.1; 548/364.1; 548/537		Natchus, Michael George et al.	35
9	435/23	435/219; 435/226		Tindal, Michael Howard et al.	26

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8								US 6417219	
9								US 6255064	

	Ū	1	Document ID	Issue Date	Title
10			US 6329418 B1	20011211	Substituted pyrrolidine hydroxamate metalloprotease inhibitors

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10	514/423	514/228.8; 514/235.5; 514/252.06; 514/252.19; 514/269; 514/315; 514/336; 514/3365; 514/383; 514/383; 544/106; 544/238; 544/238; 544/106; 544/238; 544/238; 544/309; 544/358; 544/358; 544/358; 544/358; 544/358; 544/358; 544/367; 548/183; 548/230; 548/230; 548/230; 548/230; 548/230; 548/230; 548/230; 548/230; 548/230; 548/230; 548/230; 548/230; 548/314.7; 548/317; 548/518; 548/533; 548/537		Cheng, Menyan et al.	23

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L5
       2002:186083 USPATFULL
AN
TI
       Inhibition of invasive remodelling
       Lund, Leif Roge, Copenhagen, DENMARK
IN
       Dano, Keld, Charlottenlund, DENMARK
       Stephens, Ross, Charlottenlund, DENMARK
       Brunner, Nils, Hellerup, DENMARK
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       UNKNOWN
PRAI
       DK 1996-1402
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DT
       Utility
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LREP
       BROWDY AND NEIMARK, P.L.L.C., 624 Ninth Street, N.W., Washington, DC,
CLMN
       Number of Claims: 39
       Exemplary Claim: 1
ECL
DRWN
       16 Drawing Page(s)
LN.CNT 2781
AΒ
       Invasive remodelling in a mammal may be inhibited by (1) inhibiting or
       abolishing the protein cleaving action of plasmin and (2) inhibiting or
       abolishing the protein cleaving action of at least one additional
       proteolytic enzyme active in invasive remodelling, such as a
       metalloprotease.
     ANSWER 2 OF 29 USPATFULL
L5
ΑN
       2002:141530 USPATFULL
ΤI
       Substituted cyclic amine metalloprotease inhibitors
       Natchus, Michael George, Glendale, OH, UNITED STATES
IN
       De, Biswanath, Cincinnati, OH, UNITED STATES
       Pikul, Stanislaw, Mason, OH, UNITED STATES
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Almstead, Neil Gregory, Loveland, OH, UNITED STATES Bookland, Roger Gunnard, Cincinnati, OH, UNITED STATES Taiwo, Yetunde Olabisi, West Chester, OH, UNITED STATES Cheng, Menyan, West Chester, OH, UNITED STATES PΑ The Procter & Gamble Company (U.S. corporation) PΙ US 2002072517 A1 20020613 ΑI US 2001-888759 A1 20010625 (9) Division of Ser. No. US 1997-918317, filed on 26 Aug 1997, PENDING RLI PRAI US 1996-24842P 19960828 (60) DTUtility APPLICATION FS LREP Tanaga A. Boozer, The Procter & Gamble Company, Health Care Research Center (Box 1050), P.O. Box 8006, Mason, OH, 45040-8006 Number of Claims: 28 CLMN ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 3727 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention provides compounds which are useful as inhibitors of metalloproteases, and which are effective in treating conditions characterized by excess activity of these enzymes. In particular, the present invention relates to a compound having a structure according to Formula (I). ##STR1## Also disclosed are compounds, pharmaceutical compositions and methods of treating diseases characterized by metalloprotease activity using these compounds or the pharmaceutical compositions containing them. L5 ANSWER 3 OF 29 USPATFULL 2002:141093 USPATFULL AN Methods for identifying a protease inhibitor TΤ Chadwick, Mark P., Cambridge, UNITED KINGDOM ΤN Russell, Stephen J., Rochester, MN, UNITED STATES PΙ US 2002072075 A1 20020613 ΑI US 2001-791426 A1 20010223 (9) PRAI US 2000-185203P 20000225 (60) DΤ Utility FS APPLICATION LREP Kathleen M. Williams, Ph.D., Palmer & Dodge, LLP, 111 Huntington Avenue At The Prudential Center, Boston, MA, 02199-7613 Number of Claims: 55 CLMN ECL Exemplary Claim: 1 DRWN 11 Drawing Page(s) LN.CNT 1170 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Methods are disclosed whereby inhibition of proteolytic activity causes an increase in delivery of a transferable label from a viral display package to a target cell. Assaying for the transferable label in the target cell in the presence of a test substance can identify the test substance as a protease inhibitor. Protease inhibitors so identified are used therapeutically, to treat conditions such as cancer, inflammation, rheumatoid arthritis and other autoimmune diseases, and infections, including AIDS, herpes, and hepatitis. ANSWER 4 OF 29 USPATFULL L5 2002:119889 USPATFULL ANΤI Substituted cyclic amine metalloprotease inhibitors IN Natchus, Michael George, Glendale, OH, UNITED STATES De, Biswanath, Cincinnati, OH, UNITED STATES Pikul, Stanislaw, Mason, OH, UNITED STATES Almstead, Neil Gregory, Loveland, OH, UNITED STATES Bookland, Roger Gunnard, Cincinnati, OH, UNITED STATES

Taiwo, Yetunde Olabisi, West Chester, OH, UNITED STATES Cheng, Menyan, West Chester, OH, UNITED STATES The Procter & Gamble Company (U.S. corporation) PA PΙ US 2002061877 20020523 Α1 ΑI US 2001-888675 Α1 20010625 (9) Division of Ser. No. US 1997-918317, filed on 26 Aug 1997, PENDING RLI US 1996-24842P 19960828 (60) PRAI Utility DTFS APPLICATION Tanaga A. Boozer, The Procter & Gamble Company, Health Care Research LREP Center (Box 1050), P.O. Box 8006, Mason, OH, 45040-8006 CLMN Number of Claims: 28 ECLExemplary Claim: 1 No Drawings DRWN LN.CNT 3630 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention provides compounds which are useful as inhibitors of metalloproteases, and which are effective in treating conditions characterized by excess activity of these enzymes. In particular, the present invention relates to a compound having a structure according to Formula (I). ##STR1## Also disclosed are compounds, pharmaceutical compositions and methods of treating diseases characterized by metalloprotease activity using these compounds or the pharmaceutical compositions containing them. ANSWER 5 OF 29 USPATFULL L5 2002:168251 USPATFULL ΑN TIHetero-substituted cyclic amine metalloprotease inhibitors ΙN Natchus, Michael George, Glendale, OH, United States De, Biswanath, Cincinnati, OH, United States Pikul, Stanislaw, Mason, OH, United States Almstead, Neil Gregory, Loveland, OH, United States Bookland, Roger Gunnard, Cincinnati, OH, United States Taiwo, Yetunde Olabisi, West Chester, OH, United States Cheng, Menyan, West Chester, OH, United States PΑ The Proctor & Gamble Company, Cincinnati, OH, United States (U.S. corporation) PΤ US 6417219 20020709 B1 ΑI US 1997-918317 19970826 (8) 19960828 (60) PRAI US 1996-24842P DT Utility GRANTED FS EXNAM Primary Examiner: Stockton, Laura L. LREP Roof, Carl J., Boozer, Tanaga A. CLMN Number of Claims: 14 ECL Exemplary Claim: 1 DRWN 0 Drawing Figure(s); 0 Drawing Page(s) LN.CNT 3557 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AB The invention provides compounds which are useful as inhibitors of metalloproteases, and which are effective in treating conditions characterized by excess activity of these enzymes. In particular, the present invention relates to a compound having a structure according to Formula (I). ##STR1## Also disclosed are compounds, pharmaceutical compositions and methods of treating diseases characterized by metalloprotease activity using these

compounds or the pharmaceutical compositions containing them.

L5 ANSWER 6 OF 29 USPATFULL AN 2002:129957 USPATFULL

```
Diheterocyclic metalloprotease inhibitors
TI
       Pikul, Stanislaw, Mason, OH, United States
TN
       Almstead, Neil Gregory, Loveland, OH, United States
Bradley, Rimma Sandler, Fairfield, OH, United States
       McDow-Dunham, Kelly Lynn, Loveland, OH, United States
       De, Biswanath, Cincinnati, OH, United States
       Natchus, Michael George, Glendale, OH, United States
       Taiwo, Yetunde Olabisi, West Chester, OH, United States
       Cupps, Thomas Lee, Oxford, OH, United States
PΑ
       The Procter & Gamble Company, Cincinnati, OH, United States (U.S.
       corporation)
       US 6399598
                                20020604
PΙ
                           В1
       US 2000-516726
                                20000301 (9)
ΑI
RLI
       Division of Ser. No. US 1997-918957, filed on 26 Aug 1997, now patented,
       Pat. No. US 6121258
PRAI
       US 1996-24846P
                           19960828 (60)
DT
       Utility
FS
       GRANTED
      Primary Examiner: Coleman, Brenda
EXNAM
       Roof, Carl J., Boozer, Tanaga A., Clark, Karen F.
LREP
CLMN
       Number of Claims: 30
ECL
       Exemplary Claim: 1
DRWN
       0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2013
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
       The invention provides compounds of formula ##STR1##
       as described in the claims, or an optical isomer, diastereomer or
       enantiomer thereof, or a pharmaceutically-acceptacle salt, or
       biohydrolyzable amide, ester, or imide thereof are useful as inhibitors
       of metalloproteases. Also disclosed are pharmaceutical compositions and
       methods of treating diseases, disorders and conditions characterized by
       metalloprotease activity using these compounds or the pharmaceutical
       compositions containing them.
L5
     ANSWER 7 OF 29 USPATFULL
ΑN
       2002:122764 USPATFULL
ΤI
       Nucleic acid molecules encoding human protease homologs
IN
       Robison, Keith E., Wilmington, MA, United States
       Millennium Pharmaceuticals, Inc., Cambridge, MA, United States (U.S.
PA
       corporation)
PΙ
       US 6395889
                           В1
                                20020528
ΑI
       US 1999-392184
                                19990909 (9)
DT
       Utility
FS
       GRANTED
      Primary Examiner: Achutamurthy, Ponnathapu; Assistant Examiner: Moore,
EXNAM
       William W.
LREP
       Alston & Bird LLP
CLMN
       Number of Claims: 1
ECL
       Exemplary Claim: 1
DRWN
       0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 5266
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
       The invention relates to polynucleotides encoding newly identified
       protease homologs. The invention also relates to the proteases. The
       invention further relates to methods using the protease polypeptides and
       polynucleotides as a target for diagnosis and treatment in
       protease-mediated disorders. The invention further relates to
       drug-screening methods using the protease polypeptides and
       polynucleotides to identify agonists and antagonists for diagnosis and
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treatment. The invention further encompasses agonists and antagonists based on the protease polypeptides and polynucleotides. The invention

further relates to procedures for producing the protease polypeptides and polynucleotides.

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ANSWER 8 OF 29 USPATFULL
L5
       2002:24192 USPATFULL
AN
TΙ
       Isolated human metalloprotease proteins, nucleic
       acid molecules encoding human protease proteins, and uses thereof
       Merkulov, Gennady V., Baltimore, MD, United States
IN
       Ye, Jane, Boyds, MD, United States
       Di Francesco, Valentina, Rockville, MD, United States
       Beasley, Ellen M., Darnestown, MD, United States
       PE Corporation, Norwalk, CT, United States (U.S. corporation)
PA
PΙ
       US 6344352
                               20020205
                          В1
ΑI
       US 2001-920048
                               20010802 (9)
RLI
       Division of Ser. No. US 2001-813819, filed on 22 Mar 2001, now patented,
       Pat. No. US 6294368
DT
       Utility
       GRANTED
FS
       Primary Examiner: Achutamurthy, Ponnathapu; Assistant Examiner: Fronda,
EXNAM
       Christian L
       Celera Genomics, Millman, Robert A., Sun-Hoffman, Lin
LREP
       Number of Claims: 5
CLMN
ECL
       Exemplary Claim: 1
DRWN
       19 Drawing Figure(s); 19 Drawing Page(s)
LN.CNT 2909
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention provides amino acid sequences of peptides that are
       encoded by genes within the human genome, the protease peptides of the
       present invention. The present invention specifically provides isolated
       peptide and nucleic acid molecules, methods of identifying orthologs and
       paralogs of the protease peptides, and methods of identifying modulators
       of the protease peptides.
L5
     ANSWER 9 OF 29 USPATFULL
ΑN
       2001:226672 USPATFULL
TΙ
       Substituted pyrrolidine hydroxamate metalloprotease inhibitors
IN
       Cheng, Menyan, West Chester, OH, United States
       Natchus, Michael George, Glendale, OH, United States
       De, Biswanath, Cincinnati, OH, United States
       Almstead, Neil Gregory, Loveland, OH, United States
       Taiwo, Yetunde Olabisi, West Chester, OH, United States
       Pikul, Stanislaw, Mason, OH, United States
PA
       The Procter & Gamble Company, Cincinnati, OH, United States (U.S.
       corporation)
PT
       US 6329418
                               20011211
ΑI
       US 1999-274564
                               19990323 (9)
PRAI
       US 1998-81667P
                           19980414 (60)
DT
       Utility
FS
       GRANTED
       Primary Examiner: Shah, Mukund J.; Assistant Examiner: Balasubramanian,
EXNAM
LREP
       Roof, Carl J., Kellerman, James C., Boozer, Tanaga A.
CLMN
       Number of Claims: 17
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 1926
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The invention provides compounds which are potent inhibitors of
       metalloproteases and which are effective in treating conditions
       characterized by excess activity of these enzymes. In particular, the
       present invention relates to compounds having a structure according to
       the following Formula (I): ##STR1##
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wherein R.sub.1, R.sub.2, X, Z, m, and n are defined below.

to This invention also includes optical isomers, diastereomers and enantiomers of the formula above, and pharmaceutically-acceptable salts, biohydrolyzable amides, esters, and imides thereof. The compounds of the present invention are useful for the treatment of diseases and conditions which are characterized by unwanted metalloprotease activity. Accordingly, the invention further provides pharmaceutical compositions comprising these compounds. The invention still further provides methods of treatment for metalloprotease-related maladies using these compounds or the pharmaceutical compositions containing them.

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L5 ANSWER 10 OF 29 USPATFULL
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AN 2001:185528 USPATFULL

TI Inhibitors of metalloproteases, pharmaceutical compositions comprising same and methods of their use

IN Campbell, David A., 1492 Ascension Dr., San Mateo, CA, United States 94402

Patel, Dinesh V., 45109 Cougar Cir., Fremont, CA, United States 94086 Xiao, Xiao-Yi, 11025 N. Torrey Pines Rd., #100, La Jolla, CA, United States 92037

PI US 6307101 B1 20011023

AI US 1999-271801 19990317 (9)

RLI Continuation of Ser. No. US 1998-81466, filed on 19 May 1998, now patented, Pat. No. US 5929278 Continuation of Ser. No. US 1995-549345, filed on 27 Oct 1995, now patented, Pat. No. US 5831004 Continuation-in-part of Ser. No. US 1995-484255, filed on 7 Jun 1995, now abandoned Continuation-in-part of Ser. No. US 1994-329420, filed on 27 Oct 1994, now abandoned

DT Utility

FS GRANTED

EXNAM Primary Examiner: Jones, Dwayne C.; Assistant Examiner: Delacroix-Muirheid, C.

LREP Townsend and Townsend and Crew LLP

CLMN Number of Claims: 4 ECL Exemplary Claim: 1

DRWN 17 Drawing Figure(s); 13 Drawing Page(s)

LN.CNT 2251

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed are novel inhibitors of metalloproteases, in particular matrix metalloproteases. The disclosed inhibitors are mercaptoketone and mercaptoalcohol compounds which are useful in pharmaceutical compositions and methods for treating or controlling disease states or conditions which involve tissue breakdown, for example, arthropathy, dermatological conditions, bone resorption, inflammatory diseases, and tumor invasion and in the promotion of wound healing.

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L5 ANSWER 11 OF 29 USPATFULL
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AN 2001:163038 USPATFULL

TI Isolated human metalloprotease proteins, nucleic acid molecules encoding human protease proteins, and uses thereof

IN Merkulov, Gennady V., Baltimore, MD, United States Ye, Jane, Boyds, MD, United States Di Francesco, Valentina, Rockville, MD, United States

Beasley, Ellen M., Darnestown, MD, United States

PA Applera Corporation, Norwalk, CT, United States (U.S. corporation)
PI US 6294368 B1 20010925

AI US 2001-813819 20010322 (9)

DT Utility

FS GRANTED

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EXNAM Primary Examiner: Nashed, Nashaat T.; Assistant Examiner: Fronda,
       Christian L.
       Genomics, Celera, Millman, Robert A., Sun-Hoffman, Lin
LREP
       Number of Claims: 9
CLMN
ECL
       Exemplary Claim: 1
DRWN
       23 Drawing Figure(s); 23 Drawing Page(s)
LN.CNT 2334
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       The present invention provides amino acid sequences of peptides that are
       encoded by genes within the human genome, the protease peptides of the
       present invention. The present invention specifically provides isolated
       peptide and nucleic acid molecules, methods of identifying orthologs and
       paralogs of the protease peptides, and methods of identifying modulators
       of the protease peptides.
     ANSWER 12 OF 29 USPATFULL
L5
       2001:102574 USPATFULL
AN
ΤI
       Disintegrin metalloprotease and its use
       Tindal, Michael Howard, Wyoming, OH, United States
ΤN
       Haqqi, Tariq Mehmood, Cleveland Heights, OH, United States
PΑ
       The Procter & Gamble Company, Mason, OH, United States (U.S.
       corporation)
       Case Western Reserve University, Cleveland, OH, United States (U.S.
       corporation)
       US 6255064
                                20010703
PΙ
                          В1
ΑI
       US 1998-30335
                                19980225 (9)
       Continuation-in-part of Ser. No. WO 1997-US3217, filed on 28 Feb 1997
RLI
       Continuation-in-part of Ser. No. US 1997-810153, filed on 25 Feb 1997,
       now abandoned
PRAI
       US 1996-12679P
                           19960301 (60)
       Utility
DT
       GRANTED
FS
EXNAM
      Primary Examiner: Slobodyansky, Elizabeth
CLMN
       Number of Claims: 3
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 1176
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Proteins comprising the amino acid sequence of human disintegrin and DNA
       sequences encoding the human disintegrin protein are identified. Also
       described are methods for determining the activity of the disintegrin
       and for identifying compounds capable of binding to and inhibiting the
       disintegrin protein. Recombinant expression vectors comprising the DNA
       sequences encoding the disintegrin, host cells comprising the
       recombinant expression vector, and antibodies to the disintegrin protein
       and screening methods for detecting levels of disintegrin protein are
       exemplified.
     ANSWER 13 OF 29 USPATFULL
L5
AN
       2001:33267 USPATFULL
TI
       Alkenyl- and alkynl-containing metalloprotease inhibitors
TN
       Natchus, Michael George, Glendale, OH, United States
       Bookland, Roger Gunnard, Cincinnati, OH, United States
       Almstead, Neil Gregory, Loveland, OH, United States
       Pikul, Stanislaw, Mason, OH, United States
       De, Biswanath, Cincinnati, OH, United States
Cheng, Menyan, West Chester, OH, United States
PA
       The Procter & Gamble Co., Cincinnati, OH, United States (U.S.
       corporation)
PΙ
       US 6197770
                          В1
                                20010306
ΑI
       US 2000-517080
                                20000301 (9)
PRAI
       US 1999-122644P
                           19990303 (60)
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DTUtility FS Granted EXNAM LREP CLMN ECL DRWN No Drawings LN.CNT 4321

Primary Examiner: Ramsuer, Robert W.

Roof, Carl J., Clark, Karen F.

Number of Claims: 45 Exemplary Claim: 1

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed are compounds which are inhibitors of metalloproteases and which are effective in treating conditions characterized by excess activity of these enzymes. In particular, the compounds have a structure according to the following Formula (I): ##STR1##

where X, W, Z, A, G, R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, R.sup.5' and k have the meanings described in the specification. This invention also includes optical isomers, diastereomers and enantiomers of the formula above, and pharmaceutically-acceptable salts, biohydrolyzable amides, esters, and imides thereof Also described are pharmaceutical compositions comprising these compounds, and methods of treating or preventing metalloprotease-related maladies using the compounds or the pharmaceutical compositions.

L5ANSWER 14 OF 29 USPATFULL

2000:125049 USPATFULL AN

TIBidentate metalloprotease inhibitors

IN Almstead, Neil Gregory, Loveland, OH, United States De, Biswanath, Cincinnati, OH, United States Bradley, Rimma Sandler, Fairfield, OH, United States Garrett, Garry Steven, Cincinnati, OH, United States Marlin, II, John Emory, Bridgewater, NJ, United States McIver, John McMillan, Cincinnati, OH, United States Wang, Zhe, Hockessin, DE, United States

Taiwo, Yetunde Olabisi, West Chester, OH, United States

PA The Procter & Gamble Company, Cincinnati, OH, United States (U.S.

corporation)

PΙ US 6121272 20000919 US 1997-918318 ΑI 19970826 (8) PRAI US 1996-24746P 19960828 (60)

Utility DT FS Granted

EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Sripada, Pavanaram K

LREP Roof, Carl J., Suter, David L.

CLMN Number of Claims: 41 ECL Exemplary Claim: 1

No Drawings DRWN

LN.CNT 2350

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds which are useful as inhibitors of metalloproteases, and which are effective in treating conditions characterized by excess activity of these enzymes. In particular, the present invention relates to a compound having a structure according to Formula (I) ##STR1## as described in the claims, or an optical isomer, diastereomer or enantiomer thereof, or a pharmaceutically-acceptable salt, or biohydrolyzable alkoxyamide, ester, acyloxyamide, or imide thereof. Also disclosed are compounds, pharmaceutical compositions and methods of treating diseases characterized by metalloprotease activity using these compounds or the pharmaceutical compositions containing them.

2000:125035 USPATFULL AN1,5-heterocyclic metalloprotease inhibitors TIPikul, Stanislaw, Mason, OH, United States IN Almstead, Neil Gregory, Loveland, OH, United States Bradley, Rimma Sandler, Fairfield, OH, United States McDow-Dunham, Kelly Lynn, Loveland, OH, United States De, Biswanath, Cincinnati, OH, United States Natchus, Michael George, Glendale, OH, United States Taiwo, Yetunde Olabisi, West Chester, OH, United States Cupps, Thomas Lee, Norwich, NY, United States PA The Procter & Gamble Company, Cincinnati, OH, United States (U.S. corporation) US 6121258 20000919 PΤ ΑI US 1997-918957 19970826 (8) PRAI US 1996-24846P 19960828 (60) DT Utility FS Granted EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Coleman, Brenda Roof, Carl J., Suter, David L. Number of Claims: 30 CLMN ECL Exemplary Claim: 1 No Drawings DRWN LN.CNT 2070 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention provides compounds of formula ##STR1## as described in the claims, or an optical isomer, diastereomer or enantiomer thereof, or a pharmaceutically-acceptable salt, or biohydrolyzable amide, ester, or imide thereof are useful as inhibitors of metalloproteases. Also disclosed are pharmaceutical compositions and methods of treating diseases, disorders and conditions characterized by metalloprotease activity using these compounds or the pharmaceutical compositions containing them. L5 ANSWER 16 OF 29 USPATFULL AN 2000:7413 USPATFULL TISpirocyclic containing hydroxamic acids useful as metalloprotease inhibitors Wang, Zhe, Wilmington, DE, United States TN Almstead, Neil Gregory, Loveland, OH, United States Bradley, Rimma Sandler, Fairfield, OH, United States Natchus, Michael George, Glendale, OH, United States De, Biswanath, Cincinnati, OH, United States Pikul, Stanislaw, Mason, OH, United States Taiwo, Yetunde Olabisi, West Chester, OH, United States PΑ The Procter & Gamble Company, Cincinnati, OH, United States (U.S. corporation) US 6015912 PΙ 20000118 US 1997-918328 ΑI 19970826 (8) US 1996-24766P 19960828 (60) PRAI Utility DTFS Granted Primary Examiner: Higel, Floyd D. EXNAM Roof, Carl J., Suter, David L., Rasser, Jacobus C. LREP Number of Claims: 31 CLMN ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 2616 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention provides compounds of formula ##STR1## as described in the claims, or an optical isomer, diastereomer or enantiomer thereof, or a

pharmaceutically-acceptable salt, or biohydrolyzable amide, ester, or

imide thereof are useful as inhibitors of metalloproteases.

Also disclosed are pharmaceutical compositions and methods of treating diseases, disorders and conditions characterized by metalloprotease activity using these compounds or the pharmaceutical compositions containing them.

```
ANSWER 17 OF 29 USPATFULL
L5
       1999:151215 USPATFULL
ΑN
       Inhibitors of metalloproteases pharmaceutical compositions comprising
TΤ
       same and methods of their use
       Campbell, David, San Mateo, CA, United States
IN
       Look, Gary C., Santa Clara, CA, United States
       Szardenings, Anna Katrin, Santa Clara, CA, United States
       Patel, Dinesh V., Fremont, CA, United States
       Affymax Technologies N.V., Greenford, United Kingdom (non-U.S.
PA
       corporation)
PΙ
       US 5990112
                               19991123
       US 1996-670713
                               19960618 (8)
ΑI
DT
       Utility
FS
       Granted
       Primary Examiner: Bernhardt, Emily
EXNAM
LREP
       Swiss, Gerald F., Stevens, Lauren L.
CLMN
       Number of Claims: 5
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 1564
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Novel inhibitors of metalloproteases are disclosed. Such compounds are
       useful in pharmaceutical compositions and methods for treating or
       controlling disease states or conditions which involve tissue breakdown,
       such as rheumatoid arthritis.
L5
     ANSWER 18 OF 29 USPATFULL
ΑN
       1999:85629 USPATFULL
TТ
       Inhibitors of metalloproteases, pharmaceutical compositions comprising
       same and methods of their use
ΙN
       Campbell, David A., San Mateo, CA, United States
       Patel, Dinesh V., Fremont, CA, United States
       Xiao, Xiao-Yi, La Jolla, CA, United States
PA
       Affymax Technologies N.V., Greenford, United Kingdom (non-U.S.
       corporation)
       US 5929278
PΙ
                               19990727
ΑI
       US 1998-81466
                               19980519 (9)
RLI
       Continuation of Ser. No. US 1995-549345, filed on 27 Oct 1995, now
       patented, Pat. No. US 5831004 which is a continuation-in-part of Ser.
       No. US 1995-484255, filed on 7 Jun 1995, now abandoned which is a
       continuation-in-part of Ser. No. US 1994-329420, filed on 27 Oct 1994,
       now abandoned
DT
       Utility
FS
       Granted
EXNAM
       Primary Examiner: Tsang, Cecilia J.; Assistant Examiner:
       Delacroix-Muirheid, C.
LREP
       Swiss, Gerald F., Stevens, Lauren L.
       Number of Claims: 8
CLMN
ECL
       Exemplary Claim: 1
DRWN
       17 Drawing Figure(s); 13 Drawing Page(s)
LN.CNT 2235
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Disclosed are novel inhibitors of metalloproteases, in
       particular matrix metalloproteases. The disclosed
       inhibitors are mercaptoketone and mercaptoalcohol compounds which are
```

useful in pharmaceutical compositions and methods for treating or controlling disease states or conditions which involve tissue breakdown, for example, arthropathy, dermatological conditions, bone resorption, inflammatory diseases, and tumor invasion and in the promotion of wound healing.

ANSWER 19 OF 29 USPATFULL L5 AN 1999:43850 USPATFULL Process for preparing synthetic matrix metalloprotease TΙ inhibitors Levy, Daniel E., Alameda, CA, United States ΙN Grobelny, Damian, Watsonia North, Australia Tang, Cho, Moraga, CA, United States Holme, Kevin R., Alameda, CA, United States Galardy, Richard E., Guilford, CT, United States Schultz, Gregory S., Gainesville, FL, United States Nematalia, Asaad, Alameda, CA, United States Musser, John H., San Carlos, CA, United States Glycomed Incorporated, Alameda, CA, United States (U.S. corporation) PA The University of Florida, Gainesville, FL, United States (U.S. corporation) US 5892112 19990406 PΤ ΑI US 1994-184727 19940121 (8) Continuation-in-part of Ser. No. US 1993-44324, filed on 7 Apr 1993 And RLI a continuation of Ser. No. US 1992-881630, filed on 12 May 1992, now patented, Pat. No. US 5270326 which is a continuation of Ser. No. US 1990-616021, filed on 20 Nov 1990, now patented, Pat. No. US 5114953, said Ser. No. US 44324 which is a continuation-in-part of Ser. No. US 1992-817039, filed on 7 Jan 1992, now patented, Pat. No. US 5268384 which is a continuation of Ser. No. US 1991-747751, filed on 20 Aug 1991, now patented, Pat. No. US 5239078 And Ser. No. US 1991-747752, filed on 20 Aug 1991, now patented, Pat. No. US 5189178 which is a continuation-in-part of Ser. No. US 1990-615798, filed on 21 Nov 1990, now patented, Pat. No. US 5183900 , said Ser. No. US 747751 which is a continuation-in-part of Ser. No. US 615798 DT Utility FS Granted EXNAM Primary Examiner: Richter, Johann; Assistant Examiner: Oswecki, Jane C. LREP Lyon & Lyon LLP Number of Claims: 14 CLMN ECL Exemplary Claim: 1 DRWN 23 Drawing Figure(s); 14 Drawing Page(s) LN.CNT 3113 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Synthetic mammalian matrix metalloprotease inhibitors are disclosed that are useful for treating or preventing diseases wherein said diseases are caused by unwanted mammalian matrix metalloprotease activity and include skin disorders, keratoconus, restenosis, rheumatoid arthritis, wounds, cancer, angiogenesis and shock. ANSWER 20 OF 29 USPATFULL L5 1998:135148 USPATFULL AN Inhibitors of metalloproteases, pharmaceutical compositions comprising TI same and methods of their use IN Campbell, David A., San Mateo, CA, United States Patel, Dinesh V., Fremont, CA, United States Xiao, Xiao-Yi, San Diego, CA, United States PA Affymax Technologies N.V., Greenford, England (non-U.S. corporation) PΙ US 5831004 19981103

19951027 (8)

Continuation-in-part of Ser. No. US 1995-484255, filed on 7 Jun 1995,

ΑI

RLI

US 1995-549345

now abandoned which is a continuation-in-part of Ser. No. US 1994-329420, filed on 27 Oct 1994, now abandoned DT Utility FS Granted Primary Examiner: Tsang, Cecilia J.; Assistant Examiner: EXNAM Delacroix-Muirheid, C. Swiss, Gerald F., Stevens, Lauren L. LREP Number of Claims: 8 CLMN Exemplary Claim: 1 ECL 17 Drawing Figure(s); 13 Drawing Page(s) DRWN LN.CNT 2313 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Disclosed are novel inhibitors of metalloproteases, in particular matrix metalloproteases. The disclosed inhibitors are mercaptoketone and mercaptoalcohol compounds which are useful in pharmaceutical compositions and methods for treating or controlling disease states or conditions which involve tissue breakdown, for example, arthropathy, dermatological conditions, bone resorption, inflammatory diseases, and tumor invasion and in the promotion of wound healing. ANSWER 21 OF 29 USPATFULL L5 ΑN 1998:135060 USPATFULL ΤI Phosphinic acid amides as matrix metalloprotease inhibitors Pikul, Stanislaw, Mason, OH, United States TN McDow-Dunham, Kelly Lynn, Loveland, OH, United States De, Biswanath, Cincinnati, OH, United States Taiwo, Yetunde Olabisi, West Chester, OH, United States PAThe Procter & Gamble Company, Cincinnati, OH, United States (U.S. corporation) PΙ US 5830915 19981103 US 1997-918950 ΑI 19970826 (8) US 1996-24765P PRAI 19960828 (60) DTUtility FS Granted EXNAM Primary Examiner: O'Sullivan, Peter LREP Hake, Richard A., McMahon, Mary Pat, Suter, David L. Number of Claims: 31 CLMN ECLExemplary Claim: 1 DRWN No Drawings LN.CNT 1864 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention provides compounds which are useful as inhibitors of matrix metalloproteases, and which are effective in treating conditions characterized by excess activity of these enzymes. In particular, the present invention relates to a compound having a structure according to Formula (I) ##STR1## wherein R.sub.1, R.sub.2, R.sub.3 and R.sub.4 are described in the claims, a stereoisomer or enantiomer thereof, or a pharmaceutically-acceptable salt, or biohydrolyzable alkoxyamide, ester acyloxyamide, imide or derivative thereof. Also disclosed are compounds, pharmaceutical compositions and methods of treating diseases characterized by matrix metalloprotease activity using these compounds or the pharmaceutical compositions containing them. L5 ANSWER 22 OF 29 USPATFULL AN 1998:75584 USPATFULL ΤI Synthetic matrix metalloprotease inhibitors and use thereof

IN Levy, Daniel E., Alameda, CA, United States Grobelny, Damian, Watsonia North, Australia Tang, Cho, Moraga, CA, United States Holme, Kevin R., Alameda, CA, United States Galardy, Richard E., Guilford, CT, United States Schultz, Gregory S., Gainesville, FL, United States Nematalia, Asaad, Alameda, CA, United States Musser, John H., San Carlos, CA, United States Glycomed Incorporated, Alameda, CA, United States (U.S. corporation) PA The University of Florida, Gainesville, FL, United States (U.S. corporation) US 5773438 19980630 PΙ ΑI US 1994-464927 19940605 (8) RLI Division of Ser. No. US 1994-184727, filed on 21 Jan 1994 which is a continuation-in-part of Ser. No. US 1993-44324, filed on 7 Apr 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-817039, filed on 7 Jan 1992, now patented, Pat. No. US 5268384, issued on 7 Dec 1993 which is a continuation-in-part of Ser. No. US 1990-477751, filed on 9 Feb 1990, now abandoned which is a continuation-in-part of Ser. No. US 1991-747752, filed on 20 Aug 1991, now patented, Pat. No. US 5189178 which is a continuation-in-part of Ser. No. US 1990-615798, filed on 21 Nov 1990, now patented, Pat. No. US 5183900, issued on 2 Feb 1993 which is a continuation-in-part of Ser. No. US 1992-881630, filed on 12 May 1992, now patented, Pat. No. US 5270326, issued on 14 Dec 1993 which is a continuation of Ser. No. US 1990-616021, filed on 21 Nov 1990, now patented, Pat. No. US 5114953, issued on 19 May 1992 DT Utility FS Granted EXNAM Primary Examiner: McKane, Joseph Lyon & Lyon LLP LREP CLMN Number of Claims: 23 ECL Exemplary Claim: 1 DRWN 7 Drawing Figure(s); 5 Drawing Page(s) LN.CNT 2719 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Synthetic mammalian matrix metalloprotease AΒ inhibitors are disclosed that are useful for treating or preventing diseases wherein said diseases are caused by unwanted mammalian matrix metalloprotease activity and include skin disorders, keratoconus, restenosis, rheumatoid arthritis, wounds, cancer, angiogenesis and shock. L5 ANSWER 23 OF 29 USPATFULL ΑN 97:115305 USPATFULL ΤI Inhibition of angiogenesis by synthetic matrix metalloprotease inhibitors Galardy, Richard E., Suilford, CT, United States IN PA Glycomed, Inc., Alameda, CA, United States (U.S. corporation) PΙ US 5696147 19971209 US 1993-161786 19931203 (8) AΤ Continuation of Ser. No. US 1992-817039, filed on 7 Jan 1992, now RLI patented, Pat. No. US 5268384 which is a continuation-in-part of Ser. No. US 1991-747751, filed on 20 Aug 1991, now patented, Pat. No. US 5239078 Ser. No. Ser. No. US 1991-747752, filed on 20 Aug 1991, now patented, Pat. No. US 5189178 And Ser. No. US 1990-615798, filed on 21 Nov 1990, now patented, Pat. No. US 5183900 DTUtility FS Granted EXNAM Primary Examiner: McKane, Joseph LREP Lyon & Lyon LLP CLMN Number of Claims: 13 ECL Exemplary Claim: 1

No Drawings LN.CNT 1461 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Synthetic mammalian matrix metalloprotease inhibitors are useful in controlling angiogenesis. These compounds are thus useful in controlling the growth of tumors and in controlling neovascular glaucomas. ANSWER 24 OF 29 USPATFULL L5 97:88979 USPATFULL AN ΤI Lactam-containing hydroxamic acids TN De, Biswanath, Cincinnati, OH, United States Wahl, Christopher Thomas, Hamilton, OH, United States Natchus, Michael George, Cincinnati, OH, United States Cheng, Menyan, West Chester, OH, United States PAThe Procter & Gamble Company, Cincinnati, OH, United States (U.S. corporation) PΙ US 5672598 19970930 ΑI US 1995-407839 19950321 (8) DTUtility FS Granted EXNAM Primary Examiner: Bond, Robert T. Suter, David L., Hake, Richard A., Roof, Carl J. LREP CLMN Number of Claims: 2 ECL Exemplary Claim: 1,2 No Drawings DRWN LN.CNT 1837 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The present invention relates to compounds that exhibit inhibitory activity against matrix metalloproteases ("MMPs"). Because MMPs are known to play a role in tissue degradation, the compounds of the present invention may be useful in preventing or treating diseases associated with excess MMP activity. In particular, the compounds have a structure according to Formula (I) ##STR1## wherein R.sup.1, R.sup.2, R.sup.3 and R.sup.4 are various substituents as described in the specification; and Q is an alkyl chain, an alkenyl chain, a heteroalkyl chain, or a heteroalkenyl chain, wherein said chain has 2, 3, or 4 chain atoms and is unsubstituted or substituted with one or more alkyl moieties; or a pharmaceutically-acceptable salt, or biohydrolyzable alkoxyamide, acyloxyamide, or imide thereof. Preferred are those compounds where Q is an alkyl chain having 2, 3 or 4 chain atoms. The invention also relates to pharmaceutical compositions comprising these compounds, and methods for preventing or treating diseases associated with unwanted MMP activity using the compounds and compositions. ANSWER 25 OF 29 USPATFULL L5AN 97:51993 USPATFULL ΤI Hydroxamic acid-containing inhibitors of matrix metalloproteases Yelm, Kenneth Edward, Fairfield, OH, United States IN The Procter & Gamble Company, Cincinnati, OH, United States (U.S. PA corporation) US 5639746 ΡI 19970617 US 1994-366062 ΑI 19941229 (8) DTUtility

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EXNAM

LREP

CLMN

Granted

Primary Examiner: Conrad, Joseph

Number of Claims: 16

Roof, Carl J., Hake, Richard A., Clark, Karen F.

ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 1062 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AΒ The invention provides hydroxamic acid-containing compounds which are useful as inhibitors of matrix metalloproteases and which are effective in treating conditions associated with excess activity of these enzymes. In particular, the present invention relates to a compound having a structure according to Formula I ##STR1## wherein (A) R.sup.1, R.sup.2, R.sup.3, R.sup.4 and R.sup.5 are independently selected from various substituents; and (B) where R.sup.3 and R.sup.4 or R.sup.4 and R.sup.5 may together comprise a cyclic moiety; or a pharmaceutically-acceptable salt, biohydrolyzable amide or biohydrolyzable ester thereof. In other aspects, the invention is directed to pharmaceutical compositions containing the compounds of Formula (I), and to methods of treating diseases characterized by matrix metalloprotease activity using these compounds or the pharmaceutical compositions containing them. L5 ANSWER 26 OF 29 USPATFULL 93:102796 USPATFULL ANΤI Inhibition of angiogenesis by synthetic matrix metalloprotease inhibitors IN Galardy, Richard E., 73 Faulkner Dr., Suilford, CT, United States 06437 PΙ US 5268384 19931207 ΑI US 1992-817039 19920107 (7) Continuation-in-part of Ser. No. US 1991-747751, filed on 20 Aug 1991, RLI now patented, Pat. No. US 5239078 And a continuation-in-part of Ser. No. US 1991-747752, filed on 20 Aug 1991, now patented, Pat. No. US 5189178 And a continuation-in-part of Ser. No. US 1990-615798, filed on 21 Nov 1990, now patented, Pat. No. US 5183900 DTUtility FS Granted EXNAM Primary Examiner: Springer, David B. LREP Cagan, Felissa H., Giotta, Gregory J. CLMN Number of Claims: 14 ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 1126 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AB Synthetic mammalian matrix metalloprotease inhibitors are useful in controlling angiogenesis. These compounds are thus useful in controlling the growth of tumors and in controlling neovascular glaucomas. L5ANSWER 27 OF 29 USPATFULL 93:70003 USPATFULL ΑN TIMatrix metalloprotease inhibitors

IN Galardy, Richard E., Guilford, CT, United States Grobelny, Damian, Macleod West, Australia Musser, John H., Alameda, CA, United States

PA Glycomed Incorporated, Alameda, CA, United States (U.S. corporation)

PI US 5239078 19930824

AI US 1991-747751 19910820 (7)

RLI Continuation-in-part of Ser. No. US 1990-615798, filed on 21 Nov 1990

DT Utility

FS Granted

EXNAM Primary Examiner: Springer, David B. LREP Murashige, Kate H., Giotta, Gregory J.

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Number of Claims: 4
CLMN
ECL
       Exemplary Claim: 1
DRWN
       2 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 1125
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Compounds of the formulas ##STR1## wherein each R.sup.1 is independently
       H or alkyl (1-8C) and R.sup.2 is alkyl (1-8C) or wherein the proximal
       R.sup.1 and R.sup.2 taken together are -- (CH.sub.2).sub.p -- wherein
       p=3-5;
       R.sup.3 is H or alkyl (1-4C);
       R.sup.4 is fused or conjugated unsubstituted or substituted bicycloaryl
       methylene;
       n is 0, 1 or 2;
       m is 0 or 1; and
       x is OR.sup.5 or NHR.sup.5, wherein R.sup.5 is H or substituted or
       unsubstituted alkyl (1-12C), aryl (6-12C), aryl alkyl (6-16C); or
       X is an amino acid residue or amide thereof; or
       X is the residue of a cyclic amine or heterocyclic amine;
       Y is selected from the group consisting of R.sup.7 ONR.sup.6 CONR.sup.6
       -, R.sup.6.sub.2 NCONOR.sup.7 -, and R.sup.6 CONOR.sup.7 -, wherein each
       R.sup.6 is independently H or lower alkyl (1-4C); R.sup.7 is lower alkyl
       (1-4C) or an acyl group; and
       wherein -- CONR.sup.3 -- is optionally in modified isoteric form are
       inhibitors of matrix metalloproteases.
L5
    ANSWER 28 OF 29 USPATFULL
       93:14707 USPATFULL
AN
ΤI
       Matrix metalloprotease inhibitors
       Galardy, Richard E., 73 Faulkner Dr., Guilford, CT, United States 06437
IN
       Grobelny, Damian, 10 Victoria Ave., Macleod West, 3085, Australia
PΙ
       US 5189178
                               19930223
ΑI
       US 1991-747752
                               19910820 (7)
       Continuation-in-part of Ser. No. US 1990-615798, filed on 21 Nov 1990
RLI
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Springer, David B.
LREP
       Murashige, Kate H., Giotta, Gregory J., Cagan, Felissa H.
       Number of Claims: 7
CLMN
       Exemplary Claim: 1
ECL
      No Drawings
DRWN
LN.CNT 1146
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Compounds of the formulas ##STR1## wherein each R.sup.1 is independently
AB
       H or alkyl (1-8C) and R.sup.2 is alkyl (1-8C) or wherein the proximal
       R.sup.1 and R.sup.2 taken together are -- (CH.sub.2).sub.p -- wherein
       p=3-5;
       R.sup.3 is H or alkyl (1-4C);
       R.sup.4 is fused or conjugated unsubstituted or substituted bicycloaryl
       methylene;
       n is 0, 1 or 2;
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. ..

m is 0 or 1; and

X is OR.sup.5 or NHR.sup.5, wherein R.sup.5 is H or substituted or unsubstituted alkyl (1-12C), aryl (6-12C), aryl alkyl (6-16C); or

X is an amino acid residue or amide thereof; or

X is the residue of a cyclic amine or heterocyclic amine;

wherein R.sup.6 is H or lower alkyl (1-4C) and R.sup.7 is H, lower alkyl (1-4C) or an acyl group, and wherein --CONR.sup.3 -- is optionally in modified isosteric form

are useful for treating conditions which are characterized by unwanted matrix metalloprotease activities.

- L5 ANSWER 29 OF 29 DGENE (C) 2002 THOMSON DERWENT
- AN ABB77184 Peptide DGENE
- TI New human matrix metalloprotease gene and protein, useful for diagnosing, staging, preventing or treating cancer or inflammatory diseases (e.g. arthritis), as well as in screening drugs for treating these diseases -
- IN Falduto M T; Magnuson S R; Morgan D W
- PA (FALD-I) FALDUTO M T.

 (MAGN-I) MAGNUSON S R.

 (MORG-I) MORGAN D W.
- PI US 2002031817 A1 20020314 44p
- AI US 1999-391104 19990907
- PRAI US 1997-814394 19970311
- DT Patent
- LA English
- os 2002-361182 [39]
- The sequence represents the matrix metalloprotease protein zinc binding consensus sequence, presents in the putative catalytic domain. The invention relates to a novel polynucleotide, which comprises a nucleotide sequence encoding a human matrix metalloprotease protein (designated MMP-ABT). The protein of the invention has cytostatic, anti-inflammatory, and anti-arthritic activity. The polynucleotide may have a use in gene therapy. The MMP-ABT polynucleotides and proteins are useful for detecting, diagnosing, staging, monitoring, prognosing, preventing or treating cancer or inflammatory diseases (e.g. arthritis). The MMP-ABT proteins and polynucleotides are also useful developing therapeutic agents that affect MMP function.

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